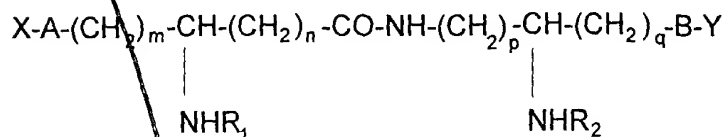


## WHAT IS CLAIMED IS

1. N-acyl-dipeptide-like compounds having the general formula I :



(I)

wherein  $R_1$  and  $R_2$  each designate an acyl group derived from a saturated or unsaturated, straight or branched chain-carboxylic acid having from 2 to 24 carbon atoms, which is unsubstituted or bears one or more substituents selected from the group comprised of hydroxyl, alkyl, alkoxy, acyloxy, amino, acylamino, acylthio and (( $C_{1-24}$ )alkyl)thio groups,

subscripts m, p and q are integers ranging from 1 to 10,

subscript n is an integer ranging from 0 to 10,

X and Y each designate a hydrogen or an acid group selected among the groups listed below :

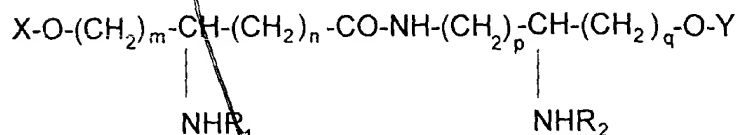
- carboxy [( $C_{1-5}$ )alkyl]
- CH-[( $(CH_2)_mCOOH$ ] [( $(CH_2)_nCOOH$ ] with m = 0 to 5 and n = 0 to 5
- phosphono [( $C_{1-5}$ )alkyl]
- dihydroxyphosphoryloxy[( $C_{1-5}$ )alkyl]
- dimethoxyphosphoryl
- phosphono
- hydroxysulfonyl
- hydroxysulfonyl[( $C_{1-5}$ )alkyl]
- hydroxysulfonyloxy [( $C_{1-5}$ )alkyl]

either in neutral or charged form,

provided that at least one of substituents X and Y designates an acid group as specified above, either in neutral or charged form, A and B designate independantly from each other an oxygen atom, a sulfur atom or an imino group -NH-.

2. The salts of compounds of general formula I in accordance with claim 1, where X and/or Y are an acid group, made into salt form with a mineral or organic base, preferably one intended for therapeutic use.

3. The compounds of general formula I' in accordance with claims 1  
 wherein  
 or 2 viz:



(I')

wherein  $R_1$  and  $R_2$  each designate an acyl group derived from a saturated or unsaturated, straight or branched chain-carboxylic acid having from 2 to 24 carbon atoms which is unsubstituted or bears one or more substituents selected from the group comprised of hydroxyl, alkyl, alkoxy, acyloxy, amino, acylamino, acylthio and  $((C_{1-24})\text{alkyl})\text{thio}$  groups,

subscripts  $m$ ,  $p$  and  $q$  are integers ranging from 1 to 10,

subscript  $n$  is an integer ranging from 0 to 10,

$X$  and  $Y$  each designate a hydrogen atom or a phosphono group.

4. A compound in accordance with anyone of claims 1 to 3 viz. 3-(3-dodecanoyloxytetradecanoylamino) 9-(3-hydroxytetradecanoylamino)4-oxo-5-azadecan-1, 10-diol 1 and/or 10-dihydrogenphosphate and its addition salts formed with an organic or a mineral base.

5. A compound in accordance with anyone of claims 1 to 3, viz. 3-(3-dodecanoyloxy-tetradecanoylamino) 9-(3-hydroxytetradecanoylamino)4-oxo-5-azadecan-1, 10-diol 1,10-bis-(dihydrogenphosphate) and its addition salts formed with an organic or a mineral base.

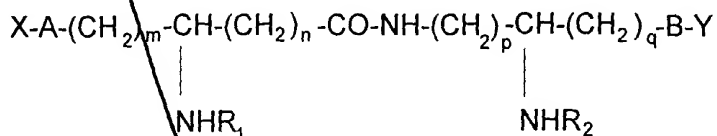
6. A compound in accordance with anyone of claims 1 to 3, viz. 3-(3-hydroxytetradecanoylamino) 9-(3-dodecaoyloxytetradecanoylamino)4-oxo-5-azadecan-1, 10-diol 1,10-bis-(dihydrogenphosphate) and its addition salts formed with an organic or a mineral base.

7. A compound in accordance with anyone of claims 1 to 3, viz. 3-(3-dodecanoyloxytetradecanoylamino) 9-(3-hydroxytetradecanoylamino)4-oxo-5-azadecan 1, 10-diol mono 1-dihydrogenphosphate and its addition salts formed with an organic or a mineral base.

8. A compound in accordance with anyone of claims 1 to 3, viz. 3-(3-hydroxytetradecanoylamino) 9-(3-dodecanoyloxytetradecanoylamino)4-oxo-5-azadecan-1, 10-diol mono 1-dihydrogenphosphate and its addition salts formed with an organic or a mineral base.

9. The compounds of general formula I in accordance with claim 1, containing elements having an R or S configuration, or of racemic nature.

10. A method for obtaining dipeptide-like compounds of general formula I in accordance with claim 1:



(I)

wherein R<sub>1</sub> and R<sub>2</sub> each designate an acyl group derived from a saturated or unsaturated, straight or branched chain-carboxylic acid having from 2 to 24 carbon atoms, which is unsubstituted or bears one or more hydroxyl, alkyl, alkoxy, acyloxy, amino, acylamino, acylthio and ((C<sub>1-24</sub>)alkyl)thio substituents,

wherein at least one of substituents R<sub>1</sub> or R<sub>2</sub> is an acyloxyacyl group,

subscripts m, p and q are integers ranging from 1 to 10,

subscript n is an integer ranging from 0 to 10,

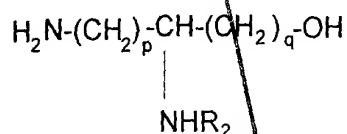
X and Y each designate a hydrogen or an acid group selected among the groups listed below

- carboxy [(C<sub>1-5</sub>)alkyl]
- CH-[(CH<sub>2</sub>)<sub>m</sub>COOH] [(CH<sub>2</sub>)<sub>n</sub>COOH] with m = 0 to 5 and n = 0 to 5
- phosphono [(C<sub>1-5</sub>)alkyl]
- dihydroxyphosphoryloxy[(C<sub>1-5</sub>)alkyl]
- dimethoxyphosphoryl
- hydroxysulfonyl
- hydroxysulfonyl[(C<sub>1-5</sub>)alkyl]
- hydroxysulfonyloxy [(C<sub>1-5</sub>)alkyl]
- phosphono

either in neutral or charged form,

provided that at least one of substituents X and Y designates an acid group as specified above, either in neutral or charged form, A and B have the same meanings as specified above,

wherein amine functional groups in positions (q+1) and  $\omega$  of a diamino acid of formula  $H_2N(CH_2)_pCHNH_2(CH_2)_{q+1}COOH$  are blocked by a blocking reagent which readily undergoes acidolysis and hydrogenolysis, respectively, the carboxylic functional group still in free form is reacted with a reducing agent to yield a corresponding alcohol, the amine functional group in position (q+1) is freed and then acyl-substituted by means of a carboxylic acid functional derivative of formula  $R_2OH$ , wherein  $R_2$  is as defined above, the terminal amine functional group is subsequently freed by hydrogenolysis to yield a diamino alcohol of general formula II

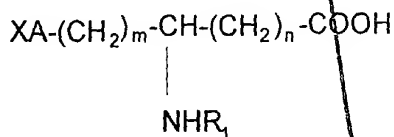


(II)

wherein  $R_2$  designates an acyl group derived from a saturated or unsaturated, straight or branched chain carboxylic acid having from 2 to 24 carbon atoms, which is unsubstituted or bears one or more substituents as defined above,

p and q designate an integer ranging from 1 to 10,

which diamino alcohol is condensed in presence of a peptide condensing agent in an inert solvent together with a  $\omega$ -hydroxy, -amino or -thio amino acid compound of general formula III



(III)

wherein  $R_1$  designates an acyl group derived from a saturated or unsaturated, straight or branched chain carboxylic acid having from 2 to 24 carbon atoms, which is unsubstituted or bears one or more substituents as defined above

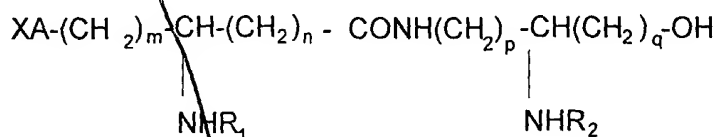
m is an integer ranging from 1 to 10,

n is an integer ranging from 0 to 10,

A is an oxygen, sulfur atom or an imino group NH

and X is an acid radical as specified previously which is optionally in an ester form

in order to yield a dipeptide-like compound of general formula IV

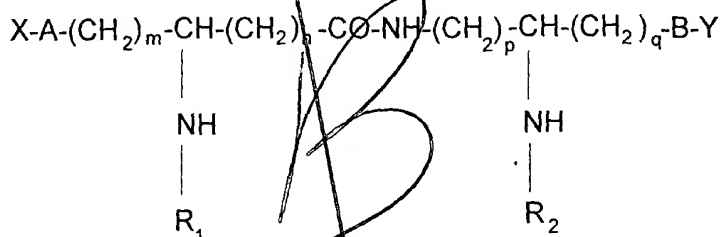


5

(IV)

wherein substituents and subscripts  $R_1$ ,  $R_2$ ,  $n$ ,  $m$ ,  $p$  and  $q$  have the same meanings as specified above, the alcohol functional group of which may be - if need be - alkyl or acyl or otherwise substituted by an alkyl or acyl or an otherwise substitution reagent, in presence of a coupling agent, if needed, and subjected to a catalytic hydrogenation or some other deprotection method in order to obtain the derivative of general formula I

10



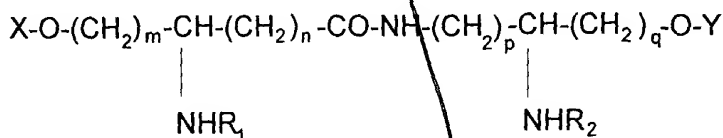
15

(I)

wherein substituents and subscripts  $A$ ,  $B$ ,  $X$ ,  $Y$ ,  $R_1$ ,  $R_2$ ,  $n$ ,  $m$ ,  $p$  and  $q$  have the same meanings as those given above.

11. A method for obtaining phosphodipeptide-like compounds of general formula I' in accordance with anyone of claims 1 or 2

20



(I')

wherein  $R_1$  and  $R_2$  each designate an acyl group derived from a saturated or unsaturated, straight or branched chain-carboxylic acid having from 2 to 24 carbon atoms, which is unsubstituted or bears one or more

25

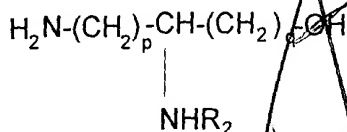
hydroxyl, alkyl, alkoxy, acyloxy, amino, acylamino, acylthio and ((C<sub>1-24</sub>)alkyl)thio group substituents,

subscripts m, p and q are integers ranging from 1 to 10,

subscript n is an integer ranging from 0 to 10,

5 X and Y each designate a hydrogen atom or a phosphono group either in neutral or charged form,

wherein amine functional groups in positions (q+1) and ω of the diamino acid of formula H<sub>2</sub>N(CH<sub>2</sub>)<sub>p</sub>CHNH<sub>2</sub>(CH<sub>2</sub>)<sub>q+1</sub>COOH are blocked by blocking reagents which readily undergo acidolysis and hydrogenolysis, respectively, the carboxylic functional group still in free form is reacted with  
10 a reducing agent to yield a corresponding alcohol, the amine functional group in position (q+1) is freed and then acyl-substituted by means of a carboxylic acid functional derivative of formula R<sub>2</sub>OH wherein R<sub>2</sub> is as defined above, the terminal amine functional group is subsequently freed  
15 by hydrogenolysis to yield an amino-alcohol of general formula II

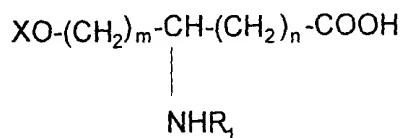


(II)

20 wherein R<sub>2</sub> designates an acyl group derived from a saturated or unsaturated, straight or branched chain-carboxylic acid having from 2 to 24 carbon atoms, which is unsubstituted or bears one or more substituents as specified above,

p and q designate an integer ranging from 1 to 10

25 which amino-alcohol is condensed in presence of a peptide condensing agent in an inert solvent together with a ω-hydroxy amino acid derivative of general formula III' :



(III')

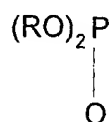
30

wherein  $R_1$  is an acyl group derived from a saturated or unsaturated, straight or branched chain-carboxylic acid having from 2 to 24 carbon atoms, which is unsubstituted or bears one or more substituents,

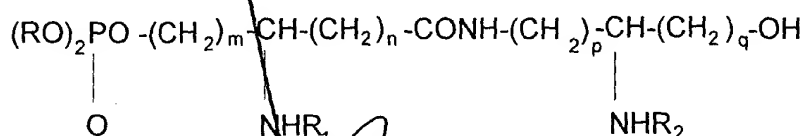
$m$  is an integer ranging from 1 to 10,

5  $n$  is an integer ranging from 0 to 10,

and  $X$  is dialkyloxy- or diaryloxy- phosphoryl radical of formula

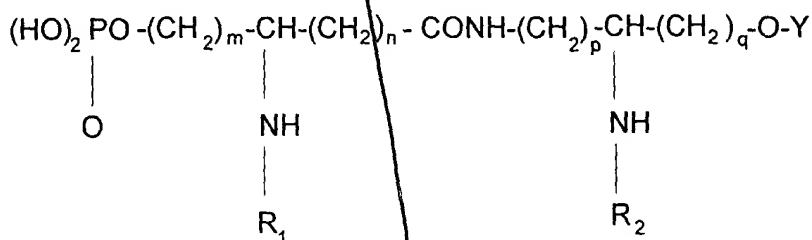


10 to yield the peptide-like compound of general formula IV'



(IV')

wherein substituents  $R_1$ ,  $R_2$ ,  $m$ ,  $n$ ,  $p$  and  $q$  are as defined above,  
 15 and  $R$  is radical which readily undergoes hydrogenolysis, the alcohol functional group of which can be - if need be - phosphorylated by a phosphorylating agent in presence of a coupling agent, if needed, and subjected to a two step catalytic hydrogenation in order to unblock the alcohol functional group optionally present on acyl groupe  $R_2$  and the  
 20 phosphate functional group and the second optionnally present phosphate functional group of which can be subsequently unblocked by hydrogenolysis, in order to obtain the derivative of general formula V



25 (V)

wherein  $Y$  designates either a hydrogen atom or a phospono group.

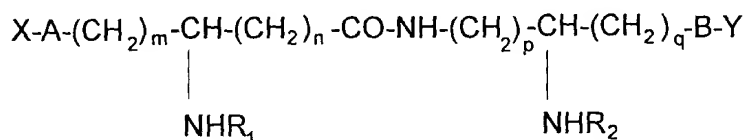
12. A method in accordance with <sup>claim 10</sup> ~~claims 10 or 11~~, wherein the further step of salt formation is performed by means of a mineral or an organic base.

13. A method in accordance with <sup>claim 10</sup> ~~anyone of claims 10 to 12~~, wherein the salt formation step is carried on by means of an organic or a mineral base intended for therapeutic use.

14. A method in accordance with <sup>claim 10</sup> ~~claims 10 or 11~~, wherein the carboxylic acid  $R_1OH$  is 3-dodecanoyloxytetradecanoic acid.

15. A method in accordance with <sup>claim 10</sup> ~~claims 10 or 11~~, wherein the carboxylic acid  $R_2OH$  is 3-hydroxytetradecanoic acid.

16. Pharmaceutical compositions containing as an active ingredient at least one compound of general formula I in accordance with claim 1 :



(I)

wherein  $R_1$  and  $R_2$  each designate an acyl group derived from a saturated or unsaturated, straight or branched chain-carboxylic acid having from 2 to 24 carbon atoms, which is unsubstituted or bears one or more hydroxyl, alkyl, alkoxy, acyloxy, amino, acylamino, acylthio and ((C<sub>1-24</sub>)alkyl)thio group substituents,

subscripts m, p and q are integers ranging from 1 to 10,

subscript n is an integer ranging from 0 to 10,

X and Y each designate a hydrogen or an acid group either in neutral or charged form,

A and B, being identical or different from each other, are an oxygen, sulfur atom or an imino group,

together or in admixture with a non toxic, pharmaceutically acceptable, inert excipient or carrier

17. The pharmaceutical compositions in accordance with claim 16, wherein the compound of formula I is one of the type where X and/or Y designate a phosphono radical and further A and B designate an oxygen atom.



Claim 16

19. The pharmaceutical compositions in accordance with ~~anyone of~~  
5 claims 16 to 18, wherein the active ingredient is in the form of a pure  
enantiomer or in the form of a mixture of stereoisomers.

add  
Q2

add'l

~~adCBT~~